

10/566,585

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NEWS 3 OCT 07 EPFULL enhanced with full implementation of EPC2000  
NEWS 4 OCT 07 Multiple databases enhanced for more flexible patent  
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NEWS 5 OCT 22 Current-awareness alert (SDI) setup and editing  
enhanced  
NEWS 6 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT  
Applications  
NEWS 7 OCT 24 CHEMLIST enhanced with intermediate list of  
pre-registered REACH substances  
NEWS 8 NOV 21 CAS patent coverage to include exemplified prophetic  
substances identified in English-, French-, German-,  
and Japanese-language basic patents from 2004-present  
NEWS 9 NOV 26 MARPAT enhanced with FSCRT command  
NEWS 10 NOV 26 MEDLINE year-end processing temporarily halts  
availability of new fully-indexed citations  
NEWS 11 NOV 26 CHEMSAFE now available on STN Easy  
NEWS 12 NOV 26 Two new SET commands increase convenience of STN  
searching  
NEWS 13 DEC 01 ChemPort single article sales feature unavailable  
NEWS 14 DEC 12 GBFULL now offers single source for full-text  
coverage of complete UK patent families

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McIntosh

10/566,585

STRUCTURE FILE UPDATES: 14 DEC 2008 HIGHEST RN 1084385-33-0  
DICTIONARY FILE UPDATES: 14 DEC 2008 HIGHEST RN 1084385-33-0

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L1      STRUCTURE uploaded
=> d l1
L1 HAS NO ANSWERS
L1      STR
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.
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SAMPLE SEARCH INITIATED 21:45:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 269 TO ITERATE
100.0% PROCESSED          269 ITERATIONS          0 ANSWERS
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                           BATCH **COMPLETE**
PROJECTED ITERATIONS:    4396 TO   6364
PROJECTED ANSWERS:        0 TO      0
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100.0% PROCESSED          5392 ITERATIONS          10 ANSWERS
SEARCH TIME: 00.00.01
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                                ENTRY          SESSION
FULL ESTIMATED COST           178.36          178.57
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FILE COVERS 1907 - 15 Dec 2008 VOL 149 ISS 25  
FILE LAST UPDATED: 14 Dec 2008 (20081214/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

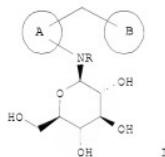
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<http://www.cas.org/legal/infopolicy.html>

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14          5 13

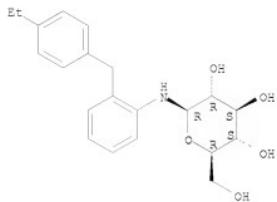
=> d bib abs hitstr 1-5 14

L4  ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN  20061912445 CAPLUS
DN  145:285165
TI  Pharmaceutical compositions containing N-glucoside compounds
IN  Nomura, Sumihiro; Sakamoto, Toshiaki; Ueda, Kichiro
PA  Tanabe Seiyaku Co., Ltd., Japan
SO  Jpn. Kokai Tokkyo Koho, 30pp.
CODEN: JKXKAF
DT  Patent
LA  Japanese
FAN.CNT 1
      PATENT NO.      KIND      DATE      APPLICATION NO.      DATE
      -----      ----      -----      -----      -----
PI  JP 2006232825      A  20060907  JP 2006-19935  20060130
PRAI  JP 2005-23727      A  20050131
OS  MARPAT 145:285165
GI
```



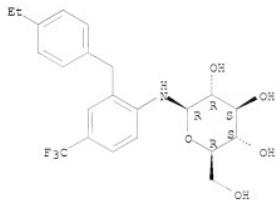
- AB The invention relates to a pharmaceutical composition characterized by containing a compound I (ring A and B are (un)substituted monocycle unsatd. hetero rings, etc.; R = H, lower alkyl, lower alkenyl, lower alkoxy carbonyl) or its salt or prodrug as an active component, suitable for use for treatment and/or prevention of diabetes or obesity. For example, 2-(4-ethylbenzyl)-N-( $\beta$ -D-glucopyranosyl)aniline was prepared, and examined for its inhibitory effect on SGLT 2 (sodium-dependent glucose transporter 2) *in vitro*.
- IT 841236-78-0? 841236-79-1? 841236-80-4?
 841236-81-5? 841236-82-6?
- RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (pharmaceutical compns. containing N-glucoside compds. for treatment of diabetes, obesity, and related diseases)
- RN 841236-78-0 CAPLUS
- CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



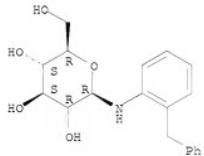
RN 841236-79-1 CAPLUS  
CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Absolute stereocchemistry.



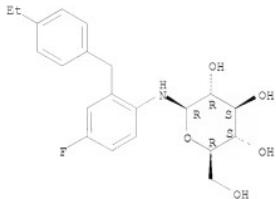
RN 841236-80-4 CAPLUS  
CN  $\beta$ -D-Glucopyranosylamine, N-[2-(phenylmethyl)phenyl]- (CA INDEX NAME)

Absolute stereocchemistry.



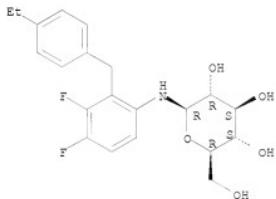
RN 841236-81-5 CAPLUS  
CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-fluorophenyl]- (CA INDEX NAME)

Absolute stereocchemistry.



RN 841236-82-6 CAPLUS  
CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-3,4-difluorophenyl]- (CA INDEX NAME)

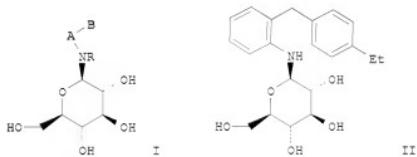
### Absolute stereochemistry.



14 ANSWER TO 5 CAPLUS COPYRIGHT 2008 ACS ON STN  
AN 2005:203945 CAPLUS  
DN 2005:219494  
TI Preparation of aryl-aminodeoxy monosaccharides as antidiabetic agents  
IN Nomura, Sumihiro; Sakamoto, Toshiaki; Ueta, Kiichiro  
PA Tanabe Seiyaku Co., Ltd., Japan  
SO PCT Int. Appl., 62 pp.

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005012321	A1	20050210	WO 2004-171311	20040730
W:	AE, AG, AL, AM, AT, AU, AZ, BE, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CS, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HI, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PR, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZH, ZW				
RW:	BW, GH, GM, KE, MW, ME, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DR, EE, ES, FI, FR, GB, GR, HU, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BI, CR, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
AU	2004260760	A1	20050210	AU 2004-260760	20040730
CA	2534022	A1	20050210	CA 2004-2534022	20040730
EP	1564293	A1	20060510	EP 2004-771313	20040730
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, PL, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK CN 1829728 A 20060906 CN 2004-80022006 20040730 BR 2004013233 A 20061003 BR 2004-13233 20040730 JP 2007518682 T 20070712 JP 2006-519250 20040730 NO 2006002719 A 20060428 NO 2006-219 20060116				

MX	2006-PAC1273	A	20060411	MX	2006-PAL273	20060131
KR	2006132539	A	20061221	KR	2006-702158	20060131
IN	2006CN0725	A	20070629	IN	2006-CNT725	20060228
US	20060217323	A1	20060928	US	2006-446014	20060602
US	20060229260	A1	20061012	US	2006-453728	20060615
US	20060234954	A1	20061019	US	2006-453727	20060615
US	20060293251	A1	20061228	US	2006-453726	20060615
US	20070060345	A1	20070315	US	2006-566585	20060728
AU	2006820240	A1	20080207	AU	2008-200240	20080117
PRAI	US 2003-491523P	P	20030801			
	US 2003-491534P	P	20030801			
	US 2003-519155P	P	20031112			
	US 2003-519209P	P	20031112			
	US 2003-519210P	P	20031112			
	US 2003-519381P	P	20031112			
	US 2004-579722P	P	20040615			
	US 2004-579730P	P	20040615			
	US 2004-579758P	P	20040615			
	US 2004-579792P	P	20040615			
AU	2004-260761	A3	20040730			
US	2004-903034	A3	20040730			
US	2004-903136	A3	20040730			
US	2004-903233	A3	20040730			
US	2004-903234	A3	20040730			
WO	2004-JP11311	W	20040730			
OS	CASREACT 142:219494,	MARPAT 142:219494				
GT						



**AB** Aryl-amino-deoxy monosaccharides I, wherein A and B are (1) A is an optionally substituted unsatd. monocyclic heterocyclic, and B is an optionally substituted unsatd. monocyclic heterocyclic, an optionally substituted unsatd. fused hetero-bicyclic, or an optionally substituted benzene, (2) A is an optionally substituted benzene, and B is an optionally substituted unsatd. monocyclic heterocyclic, an optionally substituted unsatd. fused hetero-bicyclic, or an optionally substituted benzene, or (3) A is an optionally substituted unsatd. fused hetero-bicyclic, wherein -NR<sub>2</sub>- group and -CH<sub>2</sub>- group are both on the same of the unsatd. fused hetero-bicyclic, and B is an optionally substituted monocyclic unsatd. heterocyclic, an optionally substituted unsatd. fused hetero-bicyclic, or an optionally substituted benzene; and R is a hydrogen atom, a lower alkyl group, a lower alkanyl group or a lower alkoxy carbonyl group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof. A method is claimed for treatment of type 1 and 2 diabetes mellitus, which comprises administering to a mammalian species in need of treatment a therapeutically effective amount of the compound, or in combination with another antidiabetic agent, an agent for treating diabetic complications, an anti-obesity agent, an antihypertensive agent, an antiplatelet agent, an anti-atherosclerotic agent and/or a hypolipidemic agent. Thus, title II was prepared and tested as an antidiabetic agent. The dosage of the present compds or a pharmaceutically acceptable salt thereof may vary according to the administration routes, ages, body weight, conditions of a patient, or kinds and severity of a disease to be treated, and it is usually in the range of about 0.1 to 50 mg/kg/day, preferably in the range of about 0.1 to 30

mg/kg/day.

841236-81-5P 841236-82-6P

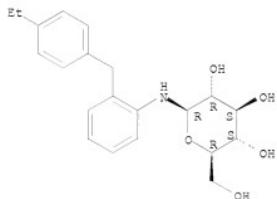
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl-aminodeoxy monosaccharides as antidiabetic agents)

RN 841236-78-0 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]phenyl]- (CA INDEX NAME)

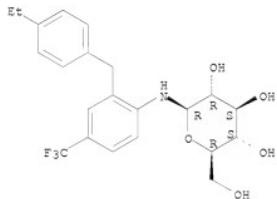
Absolute stereochemistry.



RN 841236-79-1 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

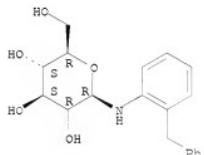
Absolute stereochemistry.



RN 841236-80-4 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[2-(phenylmethyl)phenyl]- (CA INDEX NAME)

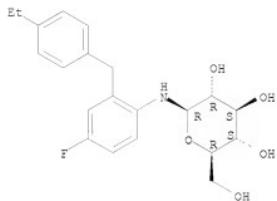
Absolute stereochemistry.



RN 841236-81-5 CAPLUS

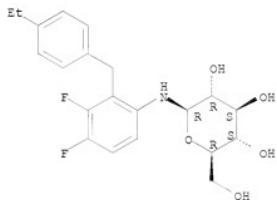
CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-fluorophenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 841236-82-6 CAPLUS  
 CN β-D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-3,4-difluorophenyl]- (CA INDEX NAME)

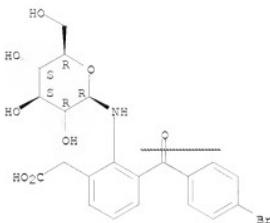
Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 1998:469174 CAPLUS  
 DN 129:197547  
 OREF 129:39947a,39950a  
 TI Isolation and identification of bromfenac glucoside from rat bile  
 AU Kirkman, Sandra K.; Zhang, Mei-Yi; Horwatt, Peter M.; Scatina, JoAnn  
 CS Drug Safety and Metabolism Div., Wyeth-Ayerst Res., USA  
 SO Drug Metabolism and Disposition (1998), 26(7), 720-723  
 CODEN: DMSA1; ISSN: 0090-9556  
 PB Williams & Wilkins  
 DT Journal  
 LA English  
 AB Bromfenac (Duract), a drug approved for pain, was expected to be metabolized by the rat to an acyl glucuronide, a metabolite formed with most compds. of similar structure. During the investigation of metabolite profiles in rat bile following administration of 1 mg/kg i.v. doses of <sup>14</sup>C-bromfenac, an acid-labile metabolite was found that degraded to form <sup>14</sup>C-bromfenac. Isolation and characterization of this metabolite indicate that it is an unusual conjugate, bromfenac N-glucoside.  
 IT 212266-82-5P  
 RL: ANT (Analyte); BSU (Biological study, unclassified); MFN (Metabolic formation); PUR (Purification or recovery); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); PREP (Preparation) (isolation and identification of bromfenac glucoside from rat bile)  
 RN 212266-82-5 CAPLUS  
 CN Benzenearomatic acid, 3-(4-bromobenzoyl)-2-(β-D-glucopyranosylamino)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

14 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 1979:48166 CAPLUS

DN 90:48166

OREF 90:7589a,7592a

II Synthesis of aminoglucuronides in rats. Relation of the process to the physicochemical properties of the substrate

AU Golovenko, N. Ya.

CS I. Mekhanikov State Univ., Odessa, USSR

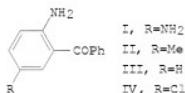
SO Voprosy Meditsinskoi Khimii (1978), 24(5), 676-8

CODEN: VMKAM; ISSN: 0042-8809

DT Journal

LA Russian

GI



AB Administration of 5-substituted (amino, Me, unsubstituted, and chloro derivs.) 2-aminobenzophenones (I [18330-94-4], II [17852-28-7], III [2835-77-0], and IV [719-53-5], resp.), which are metabolites of benzodiazepine tranquilizers, to rats resulted in their conjugation with glucuronic acid with the formation of N-glucuronides. The rates of urinary excretion of the nonconjugated compds. were in the order: II > III > I > IV, whereas the rates of excretion of the glucuronides were: II > I > III > IV. The derivs. differed with respect to the values of their Hammett consts., lipophilicity, and basicity. A correlation was found between the physicochem. properties of the derivs. and the amts. of glucuronides excreted in the urine.

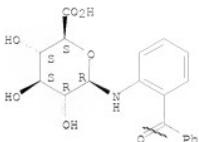
IT 69038-25-1

RL: FORM (Formation, nonpreparative)  
(formation of, from aminobenzophenone, urinary excretion in relation to)

RN 69038-25-1 CAPLUS

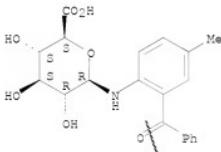
CN  $\beta$ -D-Glucopyranuronic acid, 1-[(2-benzoylphenyl)amino]-1-deoxy- (CA INDEX NAME)

Absolute stereochemistry.



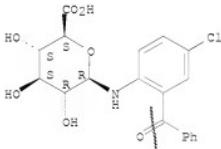
IT 69038-26-2 69038-27-3  
 RL: FORM (Formation, nonpreparative)  
 (formation of, from diaminobenzophenone, urinary excretion in relation  
 to)  
 RN 69038-26-2 CAPLUS  
 CN  $\beta$ -D-Glucopyranuronic acid, 1-[(2-benzoyl-4-methylphenyl)amino]-1-  
 deoxy- (CA INDEX NAME)

Absolute stereocchemistry.

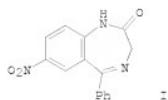


RN 69038-27-3 CAPLUS  
 CN  $\beta$ -D-Glucopyranuronic acid, 1-[(2-benzoyl-4-chlorophenyl)amino]-1-  
 deoxy- (CA INDEX NAME)

Absolute stereocchemistry.



L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 1978:98910 CAPLUS  
 DN 88:98910  
 OREF 88:15405a,15408a  
 TI Biliary excretion of nitrazepam and its metabolites in rats  
 AU Golovenko, N. Ya.; Karaseva, T. L.  
 CS Odess. Gos. Univ., Odessa, USSR  
 SO Farmakologiya i Toksikologiya (Moscow) (1978), 41(1), 17-19  
 CODEN: FATOAC; ISSN: 0014-8318  
 DT Journal  
 LA Russian  
 GI



AB Nitrazepam (I) [146-22-5] (10 mg/kg) injected i.v. into rats was excreted in the bile as free and conjugated metabolites. Metabolites included the free amine [4928-02-3] and acetamide [4928-03-4] and N- and O-glucuronides.

IT 65846-31-3

RL: BIOL (Biological study)  
(as nitrazepam metabolite)

RN 65846-31-3 CAPLUS

CN β-D-Glucopyranuronic acid, 1-[(2-benzoyl-4-nitrophenyl)amino]-1-deoxy-  
(CA INDEX NAME)

Absolute stereochemistry.

